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    (FILE 'HOME' ENTERED AT 10:44:03 ON 05 DEC 2005)

    FILE 'STNGUIDE' ENTERED AT 10:44:09 ON 05 DEC 2005

    FILE 'HOME' ENTERED AT 10:44:14 ON 05 DEC 2005

    FILE 'REGISTRY' ENTERED AT 10:44:21 ON 05 DEC 2005
L1           110 S BENZALDEHYDE SEMICARBAZONE
L2            12 S L1 AND FLUOROPHENOXY

    FILE 'CAPLUS, MEDLINE, BIOSIS, EMBASE' ENTERED AT 10:46:46 ON 05 DEC 2005
L3            42 S 181144-66-1/RN OR CO 102862 OR V 102862
L4            50 S   (4-FLUOROPHENOXY)(L) BENZALDEHYDE SEMICARBAZONE OR L3
L5            13 S L4 AND (PAIN OR NEURALIGIA OR CANCER OR INFLAMMATORY OR TUMO
L6            11 DUP REM L5 (2 DUPLICATES REMOVED)
L7            11 FOCUS L6 1-

    FILE 'REGISTRY' ENTERED AT 11:02:53 ON 05 DEC 2005
L8             6 S GABAPENTIN

    FILE 'CAPLUS, MEDLINE, BIOSIS, EMBASE' ENTERED AT 11:03:39 ON 05 DEC 2005
L9         12364 S GABAPENTIN OR NEURONTIN OR 60143-96-3/RN
L10         4404 S L9 AND (PAIN OR NEURALIGIA OR CANCER OR INFLAMMATORY OR TUMO
L11            2 S L10 AND L4

=> s l10 and pain
L12        3708 L10 AND PAIN

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of powder. The ganaxolone particle size in the mixture was determined by a laser diffraction technique by using photocorrelation spectroscopy. The ganaxolone had a volume-weighted mean diameter of 660 nm.
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:472463 CAPLUS
DOCUMENT NUMBER: 135:66241
TITLE: Process for producing nanometer particles by fluid-bed spray-drying
INVENTOR(S): Kerkhof, Nicholas J.; Ong, John T. H.
PATENT ASSIGNEE(S): Cocensys, Inc., USA
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001045674	A1	20010628	WO 2000-US34479	20001219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
ES 2240222	T3	20051016	ES 2000-986607	20001219
PRIORITY APPLN. INFO.:			US 1999-172573P P	19991220

AB Nanometer particles of poorly water-soluble or substantially water-insol. compound are produced by finely-spraying a non-aqueous solution of said compound into a heated and fluidized bed of carrier excipient. The resulting product consists of a free flowing mixture of relatively large particles of carrier excipient and nanometer sized particles (<1 μm) of compound Approx. 100 g ganaxolone was dissolved in 5 kg ethanol with slight warming to 30°. The solution was sprayed into 1 kg of spray-dried lactose NF in a fluidized-bed system equipped with a 6" Wurster column. The spray rate was 34-41 mL/min. The static inlet pressure was 2.5-8 bar. The resulting ganaxolone powder mixture was free-flowing and contained 63 mg ganaxolone/g of powder. The ganaxolone particle size in the mixture was determined by a laser diffraction technique by using photocorrelation spectroscopy. The ganaxolone had a volume-weighted mean diameter of 660 nm.
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstru 1-11
'HITSTRU' IS NOT A VALID FORMAT
In a multifile environment, a format can only be used if it is valid in at least one of the files. Refer to file specific help messages or the STNGUIDE file for information on formats available in individual files.
REENTER DISPLAY FORMAT FOR ALL FILES (FILEDEFAULT):end

=> d ibib abs it 1-11

L7 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:709050 CAPLUS
DOCUMENT NUMBER: 129:343416
TITLE: Carbocyclic and heterocyclic substituted semicarbazones and thiosemicarbazones and their use as sodium channel blockers
INVENTOR(S): Wang, Yan; Cai, Sui Xiong; Lan, Nancy C.; Keana, John

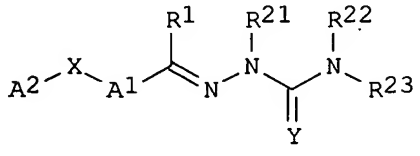
F. W.; Ilyin, Victor I.; Weber, Eckard
PATENT ASSIGNEE(S): Cocensys, Inc., USA
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9847869	A1	19981029	WO 1998-US8004	19980422
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2287255	AA	19981029	CA 1998-2287255	19980422
AU 9874676	A1	19981113	AU 1998-74676	19980422
AU 738197	B2	20010913		
EP 986540	A1	20000322	EP 1998-922043	19980422
EP 986540	B1	20050216		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9809288	A	20010807	BR 1998-9288	19980422
NZ 500590	A	20011130	NZ 1998-500590	19980422
JP 2001526648	T2	20011218	JP 1998-546269	19980422
AT 289295	E	20050315	AT 1998-922043	19980422
EP 1568690	A1	20050831	EP 2004-30775	19980422
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
NO 9905094	A	19991220	NO 1999-5094	19991019
MX 9909660	A	20000630	MX 1999-9660	19991021
US 6458843	B1	20021001	US 1999-421403	19991021
US 2002061886	A1	20020523	US 2001-3249	20011206
US 6638947	B2	20031028		
US 2002183321	A1	20021205	US 2002-178477	20020625
US 6696442	B2	20040224		
US 2003225080	A1	20031204	US 2003-463814	20030618

PRIORITY APPLN. INFO.:

US 1997-44530P	P	19970422
US 1997-62649P	P	19971022
WO 1998-US8004	W	19980422
EP 1998-922043	A3	19981029
US 1999-421403	A3	19991021

OTHER SOURCE(S): MARPAT 129:343416
GI



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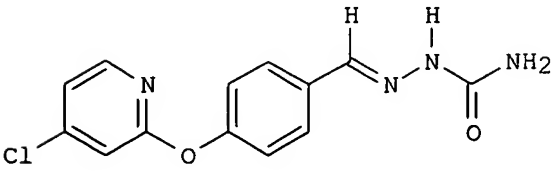


II

AB The invention relates to carbocyclic and heterocyclic substituted semicarbazones and thiosemicarbazones I and their pharmaceutically acceptable salts or prodrugs [wherein Y = O or S; R1, R21, R22 and R23 = H, alkyl, cycloalkyl, alkenyl, alkynyl, haloalkyl, aryl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, or carboxyalkyl; or NR22R23 forms a heterocycle; A1, A2 = (un)substituted aryl, heteroaryl, saturated or partially unsatd. carbocycle, or saturated or partially unsatd. heterocycle; X = O, S, NR24, CR25R26, CO, NR24CO, CONR24, SO, SO2, or a covalent bond; R24, R25, and R26 = H, alkyl, cycloalkyl, alkenyl, alkynyl, haloalkyl, aryl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, or carboxyalkyl]. The invention is also directed to the use of such compds. for treatment of neuronal damage following global and focal ischemia, for treatment or prevention of neurodegenerative conditions such as amyotrophic lateral sclerosis (ALS), for treatment and prevention of otoneurotoxicity and eye diseases involving glutamate toxicity, for treatment, prevention, or amelioration of **pain**, as anticonvulsants, as anti-manic-depressants, as local anesthetics, as antiarrhythmics, and for the treatment or prevention of diabetic **neuropathy** and urinary incontinence. Approx. 180 such compds. were prepared, claimed in use, and/or claimed per se. For instance, 4-FC6H4CHO was etherified with 5-chloro-2-pyridinol using K2CO3 in AcNMe2, and the resultant 4-(4-chloro-2-pyridinyloxy)benzaldehyde in EtOH reacted with semicarbazide-HCl and NaOAc in H2O to give title compound II. Exemplary biol. data for several compds. is given, and includes Na+ channel blocking, analgesic, and anticonvulsant activities. For instance, 4-(**4-fluorophenoxy**)**benzaldehyde semicarbazone** inhibited Na+ currents in rat hippocampal neurons (site 2) with IC50 of 22 μM, vs. 29.9 μM for lidocaine and >100 μM for tetrodotoxin, although the reverse order was observed at site 1.

IT Nervous system
(amyotrophic lateral sclerosis, treatment; preparation of carbocyclic and heterocyclic substituted semicarbazones and thiosemicarbazones as sodium channel blockers)

IT Nerve, disease
(diabetic **neuropathy**, treatment; preparation of carbocyclic and heterocyclic substituted semicarbazones and thiosemicarbazones as sodium channel blockers)

IT Bladder
(incontinence, treatment; preparation of carbocyclic and heterocyclic substituted semicarbazones and thiosemicarbazones as sodium channel blockers)

IT Anesthetics
(local; preparation of carbocyclic and heterocyclic substituted semicarbazones and thiosemicarbazones as sodium channel blockers)

IT Mental disorder
(manic bipolar disorder, treatment; preparation of carbocyclic and heterocyclic substituted semicarbazones and thiosemicarbazones as sodium channel blockers)

IT Cytoprotective agents
(neuroprotectants; preparation of carbocyclic and heterocyclic substituted semicarbazones and thiosemicarbazones as sodium channel blockers)

IT Toxicity
(neurotoxicity, treatment; preparation of carbocyclic and heterocyclic substituted semicarbazones and thiosemicarbazones as sodium channel blockers)

IT Analgesics
Antiarrhythmics
Anticonvulsants
(preparation of carbocyclic and heterocyclic substituted semicarbazones and thiosemicarbazones as sodium channel blockers)

IT Ion channel blockers
(sodium; preparation of carbocyclic and heterocyclic substituted semicarbazones and thiosemicarbazones as sodium channel blockers)

IT Nerve
(toxicity, treatment; preparation of carbocyclic and heterocyclic substituted semicarbazones and thiosemicarbazones as sodium channel blockers)

IT 13050-41-4P, 4-Ethylsemicarbazide 17696-95-6P, 4-Methylsemicarbazide

40685-92-5P, 4,4-Dimethylsemicarbazide 50961-54-1P, 4-(4-Nitrophenoxy)benzaldehyde 58236-90-1P, 4-Cyclohexyloxybenzaldehyde 61343-83-7P, 4-(4-Methylphenoxy)benzaldehyde 67468-65-9P, 4-Benzylbenzaldehyde 70627-20-2P, 4-(2-Fluorobenzyloxy)benzaldehyde 80894-32-2P, 4,4-Diethylsemicarbazide 87626-41-3P, 4-(3-Pyridinyloxy)benzaldehyde 90035-20-4P, 4-(4-Trifluoromethylphenoxy)benzaldehyde 126521-53-7P, 4-(Cyclohexylmethoxy)benzaldehyde 169943-89-9P, 4-(3,4-Methylenedioxyphenoxy)benzaldehyde 215460-35-8P, 4-(4-Chloro-2-pyridinyloxy)benzaldehyde 215460-36-9P, 4-(4-Pyridinyloxy)benzaldehyde 215460-37-0P, 4-Cycloheptyloxybenzaldehyde 215460-38-1P, 4-(5-Indanoxy)benzaldehyde 215460-39-2P, 3-Fluoro-4-(4-fluorophenoxy)benzaldehyde 215460-40-5P, 4-(4-Tetrahydropyranyloxy)benzaldehyde 215460-41-6P, 4-(1-Methyl-4-piperidinyloxy)benzaldehyde 215460-42-7P, exo-4-(2-Norbornyloxy)benzaldehyde 215460-43-8P, 4-(4-Fluorophenoxy)benzaldehyde 2'-(3-bromopropyl)semicarbazone 215460-45-0P, 4-(3-Octyloxy)benzaldehyde
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of carbocyclic and heterocyclic substituted semicarbazones and thiosemicarbazones as sodium channel blockers)

IT **181144-66-1**, 4-(**4-Fluorophenoxy**)**benzaldehyde semicarbazone**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(pharmaceutical use; preparation of carbocyclic and heterocyclic substituted semicarbazones and thiosemicarbazones as sodium channel blockers)

IT 101091-62-7, 4-(4-Methoxyphenoxy)benzaldehyde semicarbazone 107921-04-0, 4-Phenoxybenzaldehyde semicarbazone 181144-71-8, 4-(3,4-Difluorophenoxy)benzaldehyde semicarbazone 181144-77-4, 4-(4-Bromophenoxy)benzaldehyde semicarbazone 181144-83-2, 4-(3-Methylphenoxy)benzaldehyde semicarbazone 181144-84-3, 4-(4-Methylphenoxy)benzaldehyde semicarbazone 181144-93-4, 4-(4-Propylphenoxy)benzaldehyde semicarbazone 181144-95-6, 4-(4-sec-Butylphenoxy)benzaldehyde semicarbazone 181144-96-7, 4-(4-tert-Butylphenoxy)benzaldehyde semicarbazone 181145-04-0, 4-(4-Butoxyphenoxy)benzaldehyde semicarbazone 187868-20-8 215460-17-6, 4-(4-Bromophenoxy)acetophenone semicarbazone 215460-18-7, 4-(4-Fluorophenoxy)acetophenone semicarbazone 215460-19-8, 4-(4-Fluorophenoxy)-3-fluoroacetophenone semicarbazone 215460-20-1, 4-(4-Chlorophenoxy)acetophenone semicarbazone 215460-21-2, 4-(4-Bromophenoxy)propiophenone semicarbazone 215460-22-3, 4-(4-Fluorophenoxy)propiophenone semicarbazone 215460-23-4, 4-(4-Chlorophenoxy)propiophenone semicarbazone 215460-24-5, 4-Phenylmercaptobenzaldehyde semicarbazone 215460-25-6, 4-(4-Fluorophenylmercapto)benzaldehyde semicarbazone 215460-26-7, 4-(4-Chlorophenylmercapto)benzaldehyde semicarbazone 215460-27-8, 4-(6-Quinolinyloxy)benzaldehyde semicarbazone 215460-28-9, 4-(4-Fluorophenoxy)cyclohexane-1-carboxaldehyde semicarbazone 215460-31-4, 4-(2-Pyrimidinyloxy)benzaldehyde semicarbazone 215460-32-5, 2-Phenoxypyridine-5-carboxaldehyde semicarbazone 215460-33-6, 2-(4-Chlorophenoxy)pyridine-5-carboxaldehyde semicarbazone 215460-34-7, 2-(4-Fluorophenoxy)pyridine-5-carboxaldehyde semicarbazone 215460-46-1, 3-Fluoro-4-(4-fluorophenyl)benzaldehyde semicarbazone 215460-47-2 215460-48-3 215460-49-4 215460-50-7, 4-(4-Fluorophenyl)benzaldehyde 2'-methylsemicarbazone 215460-51-8 215460-52-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical use; preparation of carbocyclic and heterocyclic substituted semicarbazones and thiosemicarbazones as sodium channel blockers)

IT 349-99-5P, 4-Trifluoromethylbenzaldehyde semicarbazone 592-64-3P, Isobutyraldehyde semicarbazone 2920-40-3P, 4-Biphenylcarboxaldehyde semicarbazone 3183-63-9P, Cyclohexanecarboxaldehyde semicarbazone 3745-94-6P, 2-Naphthaldehyde semicarbazone 5449-28-5P, Diphenylacetaldehyde semicarbazone 7356-95-8P, Indole-3-carboxaldehyde semicarbazone 13669-43-7P, 3-Quinolinecarboxaldehyde semicarbazone

14066-63-8P, Mesitaldehyde semicarbazone 16678-47-0P, 3-Trifluoromethylbenzaldehyde semicarbazone 16742-62-4P, Piperonal semicarbazone 20977-62-2P, 4-Dimethylamino-1-naphthaldehyde semicarbazone 21235-60-9P, 2-Trifluoromethylbenzaldehyde semicarbazone 25069-89-0P, 4-(N,N-Diphenylamino)benzaldehyde semicarbazone 26303-23-1P, 1-Methylindole-3-carboxaldehyde semicarbazone 81824-93-3P, 3,4,5-Trimethoxybenzaldehyde semicarbazone 101091-28-5P, 4-Benzylbenzaldehyde semicarbazone 110062-61-8P, 1,4-Benzodioxane-6-carboxaldehyde semicarbazone 140158-12-9P, Pentafluorobenzaldehyde semicarbazone 151319-96-9P, 6-Nitropiperonal semicarbazone 180320-20-1P, 2,4,6-Trimethoxybenzaldehyde semicarbazone 181144-68-3P, 4-(2,4-Difluorophenoxy)benzaldehyde semicarbazone 181144-72-9P, 4-(3,5-Difluorophenoxy)benzaldehyde semicarbazone 181144-75-2P, 4-(4-Chlorophenoxy)benzaldehyde semicarbazone 181144-79-6P, 4-(2-Fluoro-4-chlorophenoxy)benzaldehyde semicarbazone 181144-80-9P, 4-(4-Fluoro-2-chlorophenoxy)benzaldehyde semicarbazone 181144-81-0P 181145-09-5P, 4-(4-Pyridinyloxy)benzaldehyde semicarbazone 215458-64-3P, 4-(4-Chloro-2-pyridinyloxy)benzaldehyde semicarbazone 215458-66-5P, 4-(3-Pyridinyloxy)benzaldehyde semicarbazone 215458-68-7P, 4-(3,4-Methylenedioxyphenoxy)benzaldehyde semicarbazone 215458-70-1P, 4-(Cyclohexyloxy)benzaldehyde semicarbazone 215458-71-2P, 4-(Cycloheptyloxy)benzaldehyde semicarbazone 215458-72-3P, 4-(5-Indanyloxy)benzaldehyde semicarbazone 215458-73-4P, 4-(4-Fluorophenoxy)benzaldehyde 4'-methylsemicarbazone 215458-74-5P, 4-(4-Fluorophenoxy)benzaldehyde 2'-methylsemicarbazone 215458-75-6P, 4-(Cyclohexylmethoxy)benzaldehyde semicarbazone 215458-76-7P, 3-Fluoro-4-(**4-fluorophenoxy)benzaldehyde semicarbazone** 215458-77-8P 215458-78-9P, 4-(1-Methyl-4-piperidinyloxy)benzaldehyde semicarbazone 215458-79-0P 215458-80-3P, 4-(4-Nitrophenoxy)benzaldehyde semicarbazone 215458-81-4P, 4-(2-Fluorobenzyloxy)benzaldehyde semicarbazone 215458-82-5P, 4-(5,6,7,8-Tetrahydro-2-naphthyloxy)benzaldehyde semicarbazone 215458-83-6P, 4-(2-Adamantyloxy)benzaldehyde semicarbazone 215458-84-7P, 4-(2,4,6-Trimethylphenoxy)benzaldehyde semicarbazone 215458-85-8P, 2-Fluoro-4-(4-fluorophenoxy)acetophenone semicarbazone 215458-86-9P 215458-87-0P 215458-88-1P 215458-89-2P, 4-(4-Fluorophenoxy)benzaldehyde 4'-ethylsemicarbazone 215458-90-5P, 4-(4-Fluorophenoxy)benzaldehyde 4',4'-dimethylsemicarbazone 215458-91-6P, 4-(4-Fluorophenoxy)benzaldehyde 4',4'-diethylsemicarbazone 215458-92-7P, 4-(4-Fluorophenoxy)benzaldehyde 2'-(ethoxycarbonylmethyl)semicarbazone 215458-93-8P 215458-94-9P, 4-(4-Methylphenoxy)benzaldehyde 2'-methylsemicarbazone 215458-95-0P, 4-(3-Octoxy)benzaldehyde semicarbazone 215458-96-1P, 4-(4-Trifluoromethylphenoxy)benzaldehyde 2'-methylsemicarbazone 215458-98-3P, 4-(4-Fluorophenoxy)benzaldehyde 2'-(carbamylmethyl)semicarbazone 215458-99-4P, 6-Chloropiperonal semicarbazone 215459-02-2P, 5-Bromo-2-hydroxy-3-methoxybenzaldehyde semicarbazone 215459-04-4P, 6-Methoxy-2-naphthaldehyde semicarbazone 215459-08-8P, 2,2-Difluoro-5-formylbenzodioxole semicarbazone 215459-09-9P, 5-Indancarboxaldehyde semicarbazone 215459-13-5P, 3,5-Dimethyl-4-hydroxybenzaldehyde semicarbazone 215459-14-6P, 2-(4-Chlorophenylthio)benzaldehyde semicarbazone 215459-15-7P, 2-Fluorenecarboxaldehyde semicarbazone 215459-16-8P, Piperonal 2'-methylsemicarbazone 215459-17-9P, 2,2-Difluoro-5-formylbenzodioxole 2'-methylsemicarbazone 215459-18-0P, 1,4-Benzodioxane-6-carboxaldehyde 2'-methylsemicarbazone 215459-19-1P, 6-Chloropiperonal 2'-methylsemicarbazone 215459-20-4P, 6-Nitropiperonal 2'-methylsemicarbazone 215459-21-5P, 4-Biphenylcarboxaldehyde 2'-methylsemicarbazone 215459-22-6P, 3-Quinolinecarboxaldehyde 2'-methylsemicarbazone 215459-23-7P, 2-Naphthaldehyde 2'-methylsemicarbazone 215459-24-8P, 4-Dimethylamino-1-naphthaldehyde 2'-methylsemicarbazone 215459-25-9P, 6-Methoxy-2-naphthaldehyde 2'-methylsemicarbazone 215459-26-0P, 5-Indancarboxaldehyde 2'-methylsemicarbazone 215459-27-1P, Indole-3-carboxaldehyde 2'-methylsemicarbazone 215459-28-2P, 1-Methylindole-3-carboxaldehyde 2'-methylsemicarbazone 215459-29-3P, 4-Phenoxybenzaldehyde 2'-methylsemicarbazone 215459-30-6P, 3-Phenoxybenzaldehyde 2'-methylsemicarbazone 215459-31-7P, Pentafluorobenzaldehyde

2'-methylsemicarbazone 215459-32-8P, 5-Bromo-2-hydroxy-3-methoxybenzaldehyde 2'-methylsemicarbazone 215459-33-9P, Mesitaldehyde 2'-methylsemicarbazone 215459-34-0P, 2,4,6-Trimethoxybenzaldehyde 2'-methylsemicarbazone 215459-35-1P, 3-Hydroxy-4-methoxybenzaldehyde 2'-methylsemicarbazone 215459-36-2P, 3,4-Dimethoxybenzaldehyde 2'-methylsemicarbazone 215459-37-3P, 3,4-Difluorobenzaldehyde 2'-methylsemicarbazone 215459-38-4P, 4-Trifluoromethylbenzaldehyde 2'-methylsemicarbazone 215459-39-5P, 4-Trifluoromethoxybenzaldehyde 2'-methylsemicarbazone 215459-40-8P, 4-(3,4-Methylenedioxyphenoxy)benzaldehyde 2'-methylsemicarbazone 215459-41-9P, 4-(5-Indanyloxy)benzaldehyde 2'-methylsemicarbazone 215459-42-0P, 4-(2-Chloro-4-fluorophenoxy)benzaldehyde 2'-methylsemicarbazone 215459-43-1P, 4-(4-Chlorophenoxy)benzaldehyde 2'-methylsemicarbazone 215459-44-2P, 4-(3,5-Difluorophenoxy)benzaldehyde 2'-methylsemicarbazone 215459-45-3P, 4-(3,4-Difluorophenoxy)benzaldehyde 2'-methylsemicarbazone 215459-46-4P, 4-(2,4-Difluorophenoxy)benzaldehyde 2'-methylsemicarbazone 215459-47-5P, 4-(4-Chloro-2-fluorophenoxy)benzaldehyde 2'-methylsemicarbazone 215459-48-6P, 5,6,7,8-Tetrahydro-2-naphthyloxybenzaldehyde 2'-methylsemicarbazone 215459-49-7P, 4-(4-Fluorophenoxy)-3-fluorobenzaldehyde 2'-methylsemicarbazone 215459-50-0P, 2-(4-Fluorophenoxy)-4-fluorobenzaldehyde 2'-methylsemicarbazone 215459-51-1P, 4-(4-Fluorophenoxy)-2-fluorobenzaldehyde 2'-methylsemicarbazone 215459-52-2P, 2,6-Difluoro-4-(4-fluorophenoxy)benzaldehyde 2'-methylsemicarbazone 215459-53-3P, 4-(2,4,6-Trimethylphenoxy)benzaldehyde 2'-methylsemicarbazone 215459-54-4P, 4-(3,4-Methylenedioxyphenoxy)-3-fluorobenzaldehyde 2'-methylsemicarbazone 215459-55-5P, 3-Fluoro-4-(5-indanyloxy)benzaldehyde 2'-methylsemicarbazone 215459-56-6P, 3-Chloro-4-(4-fluorophenoxy)benzaldehyde 2'-methylsemicarbazone 215459-57-7P, 4-(4-Fluorophenoxy)-2-trifluoromethylbenzaldehyde 2'-methylsemicarbazone 215459-60-2P, 3-Chloro-4-(**4-fluorophenoxy**)**benzaldehyde semicarbazone** 215459-62-4P, 2-Chloro-4-(**4-fluorophenoxy**)**benzaldehyde semicarbazone** 215459-65-7P, 4-(4-Fluorophenoxy)-2-trifluoromethylbenzaldehyde semicarbazone 215459-68-0P, 2-(4-Fluorophenoxy)-4-fluorobenzaldehyde semicarbazone 215459-83-9P, 2-Fluoro-4-(**4-fluorophenoxy**)**benzaldehyde semicarbazone** 215459-85-1P, 4-(3-Octyloxy)benzaldehyde 2'-methylsemicarbazone 215459-87-3P, 4-Cycloheptyloxybenzaldehyde 2'-methylsemicarbazone 215459-89-5P, 4-(4-Nitrophenoxy)benzaldehyde 2'-methylsemicarbazone 215459-91-9P, 4-Adamantyloxybenzaldehyde 2'-methylsemicarbazone 215459-93-1P, 4-(Diphenylmethoxy)benzaldehyde 2'-methylsemicarbazone 215459-95-3P, 4-Triphenylmethoxybenzaldehyde semicarbazone 215459-97-5P, 4-(Diphenylmethoxy)benzaldehyde semicarbazone 215459-99-7P, exo-4-(2-Norbornyloxy)benzaldehyde 2'-methylsemicarbazone 215460-01-8P, 4-(4-Tetrahydropyranyloxy)benzaldehyde 2'-methylsemicarbazone 215460-03-0P, 4-Benzylbenzaldehyde 2'-methylsemicarbazone 215460-04-1P, 4-(4-Trifluoromethylphenoxy)benzaldehyde semicarbazone 215460-05-2P, 4-(4-Fluorophenoxy)benzaldehyde 2'-(3-cyanopropyl)semicarbazone 215460-07-4P, 4-(4-Fluorophenoxy)benzaldehyde 2'-(2-propynyl)semicarbazone 215460-10-9P, 4-(4-Fluorophenoxy)benzaldehyde 2'-(2-propenyl)semicarbazone 215460-12-1P, 4-(4-Fluorophenoxy)benzaldehyde 2'-benzylsemicarbazone 215460-30-3P, 4-(2-Pyridinyloxy)benzaldehyde semicarbazone 215536-12-2P 215536-14-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(product; preparation of carbocyclic and heterocyclic substituted semicarbazones and thiosemicarbazones as sodium channel blockers)

IT 79-44-7, Dimethylcarbamyl chloride 88-10-8, Diethylcarbamyl chloride 100-02-7, 4-Nitrophenol, reactions 105-36-2, Ethyl bromoacetate 108-85-0, Cyclohexyl bromide 109-00-2, 3-Hydroxypyridine 109-64-8, 1,3-Dibromopropane 109-90-0, Ethyl isocyanate 123-08-0, 4-Hydroxybenzaldehyde 123-46-6 302-01-2, Hydrazine, reactions 345-35-7, 2-Fluorobenzyl chloride 371-41-5, 4-Fluorophenol 402-45-9, α,α,α-Trifluoro-p-cresol 459-57-4, 4-Fluorobenzaldehyde 533-31-3, Sesamol 563-41-7, Semicarbazide

hydrochloride 624-83-9, Methyl isocyanate 683-57-8, 2-Bromoacetamide 999-64-4, 3-Bromooctane 1470-94-6, 5-Indanol 1768-64-5, 4-Chlorotetrahydropyran 2116-36-1, (4-Bromophenyl)phenylmethane 2404-35-5, Cycloheptyl bromide 2534-77-2, exo-2-Bromonorbonane 2550-36-9, (Bromomethyl)cyclohexane 4214-79-3, 5-Chloro-2-pyridinol 5382-23-0, 1-Methyl-4-chloropiperidine hydrochloride 6294-89-9, Carbomethoxyhydrazine 7379-35-3, 4-Chloropyridine hydrochloride 22718-48-5 34036-07-2, 3,4-Difluorobenzaldehyde 40711-41-9, Butylhydrazine oxalate 137736-06-2, 4-(4-Fluorophenoxy)benzaldehyde 215460-44-9, 4-(4-Fluoro-2-chlorophenoxy)benzaldehyde
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of carbocyclic and heterocyclic substituted semicarbazones and thiosemicarbazones as sodium channel blockers)

IT 56-86-0, L-Glutamic acid, biological studies
RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study)
(treatment of toxicity; preparation of carbocyclic and heterocyclic substituted semicarbazones and thiosemicarbazones as sodium channel blockers)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:741960 CAPLUS
DOCUMENT NUMBER: 133:305611
TITLE: Sodium channel blocker compositions for treating or preventing chronic **pain** or convulsion
INVENTOR(S): Lan, Nancy C.
PATENT ASSIGNEE(S): Cocensys, Inc., USA
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

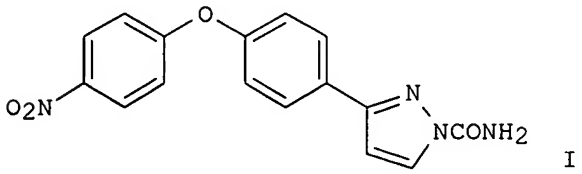
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000061188	A1	20001019	WO 2000-US9387	20000410
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2370030	AA	20001019	CA 2000-2370030	20000410
EP 1169060	A1	20020109	EP 2000-923183	20000410
EP 1169060	B1	20050831		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002541215	T2	20021203	JP 2000-610520	20000410
AT 303162	E	20050915	AT 2000-923183	20000410
US 2002037926	A1	20020328	US 2001-971007	20011005
US 2004054005	A1	20040318	US 2003-644783	20030821

PRIORITY APPLN. INFO.:	US 1999-128543P	P	19990409
	WO 2000-US9387	W	20000410
	US 2001-971007	A3	20011005

AB Methods of treating or preventing chronic **pain** or convulsion are disclosed by administering to an animal a sodium channel blocker and at least one of gabapentin and pregabalin. Also disclosed are pharmaceutical compns. and kits for the treatment or prevention of chronic **pain** or convulsion. Combination of 1.25 mg/kg oral **Co 102862** and 25 mg/kg s.c. gabapentin had synergistic effect in Chung model of neuropathic rats and much greater withdrawal threshold was observed than either compound alone.

IT **Pain**
(chronic; sodium channel blocker compns. for treating or preventing chronic **pain** or convulsion)
IT Nerve, disease
(diabetic **neuropathy**; sodium channel blocker compns. for treating or preventing chronic **pain** or convulsion)
IT Nerve, disease
(neuralgia, terminal; sodium channel blocker compns. for treating or preventing chronic **pain** or convulsion)
IT Analgesics
Convulsion
Drug delivery systems
(sodium channel blocker compns. for treating or preventing chronic **pain** or convulsion)
IT Ion channel blockers
(sodium; sodium channel blocker compns. for treating or preventing chronic **pain** or convulsion)
IT 298-46-4, Carbamazepine 60142-96-3, Gabapentin 84057-84-1, Lamotrigine 148553-50-8, Pregabalin. **181144-66-1**, Co **102862**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(sodium channel blocker compns. for treating or preventing chronic **pain** or convulsion)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:105112 CAPLUS
DOCUMENT NUMBER: 140:303586
TITLE: 3-(4-Phenoxyphenyl)pyrazoles: A Novel Class of Sodium Channel Blockers
AUTHOR(S): Yang, Ji; Gharagozloo, Parviz; Yao, Jiangchao; Ilyin, Victor I.; Carter, Richard B.; Nguyen, Phong; Robledo, Silvia; Woodward, Richard M.; Hogenkamp, Derk J.
CORPORATE SOURCE: Discovery Research, Purdue Pharma L.P., Cranbury, NJ, 08512, USA
SOURCE: Journal of Medicinal Chemistry (2004), 47(6), 1547-1552
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:303586
GI



I

AB A series of 3-(4-phenoxyphenyl)-1H-pyrazoles were synthesized and characterized as potent state-dependent sodium channel blockers. A limited SAR study was carried out to delineate the chemical requirements for potency. The results indicate that the distal Ph group is critical for activity but will tolerate lipophilic (+π) electroneg. (+σ) substituents at the ortho and/or para position. Substitution at the pyrazole nitrogen with a H-bond donor improves potency. 3-[4-(4-Nitrophenoxy)phenyl]-1H-pyrazole-1-carboxamide (I) showed robust activity in the rat Chung **neuropathy** paradigm.

IT Nerve, disease
(peripheral **neuropathy**; preparation of (phenoxyphenyl)pyrazole derivs. and their activity as sodium channel blockers and their use in treatment of neuropathic **pain**)

IT Human
Pharmacophores
Sodium channel blockers
(preparation of (phenoxyphenyl)pyrazole derivs. and their activity as sodium channel blockers)

IT Analgesics
(preparation of (phenoxyphenyl)pyrazole derivs. and their activity as sodium channel blockers and their activity as analgesics)

IT Structure-activity relationship
(sodium channel-blocking; preparation of (phenoxyphenyl)pyrazole derivs. and their activity as sodium channel blockers)

IT 133866-14-5
RL: PAC (Pharmacological activity); BIOL (Biological study)
(NW 1029; preparation of (phenoxyphenyl)pyrazole derivs. and their activity as sodium channel blockers and comparison to NW 1029)

IT **181144-66-1**, 2-[[4-(4-Fluorophenoxy)phenyl]methylene]hydrazinecarboxamide
RL: PAC (Pharmacological activity); BIOL (Biological study)
(**V 102862**; preparation of (phenoxyphenyl)pyrazole derivs. and their activity as sodium channel blockers and comparison to **V 102862**)

IT 111273-31-5, 3-(4-Phenoxyphenyl)-1H-pyrazole
RL: PAC (Pharmacological activity); BIOL (Biological study)
(preparation of (phenoxyphenyl)pyrazole derivs. and their activity as sodium channel blockers)

IT 299206-73-8P, 3-[4-(4-Fluorophenoxy)phenyl]-1H-pyrazole
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (phenoxyphenyl)pyrazole derivs. and their activity as sodium channel blockers)

IT 101117-70-8P 299206-24-9P 299206-25-0P 299206-30-7P 299206-43-2P 676327-79-0P 676327-80-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of (phenoxyphenyl)pyrazole derivs. and their activity as sodium channel blockers)

IT 79-44-7, Dimethylcarbamoyl chloride 100-02-7, 4-Nitrophenol, reactions 108-95-2, Phenol, reactions 302-01-2, Hydrazine, reactions 367-27-1, 2,4-Difluorophenol 624-83-9, Methyl isocyanate 4637-24-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of (phenoxyphenyl)pyrazole derivs. and their activity as sodium channel blockers)

IT 35114-93-3P, 1-[4-(4-Fluorophenoxy)phenyl]ethanone
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (phenoxyphenyl)pyrazole derivs. and their activity as sodium channel blockers)

IT 298-46-4, 5H-Dibenz[b,f]azepine-5-carboxamide
RL: PAC (Pharmacological activity); BIOL (Biological study)
(preparation of (phenoxyphenyl)pyrazole derivs. and their activity as sodium channel blockers and analgesic activity in comparison to carbamazepine)

IT 27069-17-6, 3-(4-Methoxyphenyl)-1H-pyrazole
RL: PAC (Pharmacological activity); BIOL (Biological study)
(preparation of (phenoxyphenyl)pyrazole derivs. and their activity as sodium channel blockers and comparison to (methoxyphenyl)pyrazole)

IT 2458-26-6, 3-Phenyl-1H-pyrazole
RL: PAC (Pharmacological activity); BIOL (Biological study)
(preparation of (phenoxyphenyl)pyrazole derivs. and their activity as sodium channel blockers and comparison to (phenyl)pyrazole)

IT 371-41-5, 4-Fluorophenol 403-42-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of (phenoxyphenyl)pyrazole derivs. and their activity as sodium channel blockers and comparison to (phenyl)pyrazole)

IT 130801-33-1, BW 4030W92
RL: PAC (Pharmacological activity); BIOL (Biological study)
(preparation of (phenoxyphenyl)pyrazole derivs. and their activity as sodium channel blockers and comparison to BW 4030W92)
IT 84057-84-1, Lamotrigine
RL: PAC (Pharmacological activity); BIOL (Biological study)
(preparation of (phenoxyphenyl)pyrazole derivs. and their activity as sodium channel blockers and comparison to lamotrigine)
IT 57-41-0, Phenytoin
RL: PAC (Pharmacological activity); BIOL (Biological study)
(preparation of (phenoxyphenyl)pyrazole derivs. and their activity as sodium channel blockers and comparison to phenytoin)
IT 861213-56-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of (phenoxyphenyl)pyrazole derivs. and their activity as sodium channel blockers and their activity as analgesics)
REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 11 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN
ACCESSION NUMBER: 2004:201454 BIOSIS
DOCUMENT NUMBER: PREV200400202012
TITLE: State - dependent block of voltage - gated sodium channels by 4 - phenoxyphenylpyrazoles.
AUTHOR(S): Ilyin, V. I. [Reprint Author]; Yang, J. [Reprint Author]; Nguyen, P. X. [Reprint Author]; Hogenkamp, D. J. [Reprint Author]; Gharagozloo, P. [Reprint Author]; Robledo, S. [Reprint Author]; Carter, R. B. [Reprint Author]; Woodward, R. M. [Reprint Author]
CORPORATE SOURCE: Discovery Res., Purdue Pharma L.P., Cranbury, NJ, USA
SOURCE: Society for Neuroscience Abstract Viewer and Itinerary Planner, (2003) Vol. 2003, pp. Abstract No. 579.6. http://sfn.scholarone.com. e-file.
Meeting Info.: 33rd Annual Meeting of the Society of Neuroscience. New Orleans, LA, USA. November 08-12, 2003. Society of Neuroscience.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 14 Apr 2004
Last Updated on STN: 14 Apr 2004

AB Voltage-gated sodium channels are key mediators of the pathophysiology of **pain**. A promising approach toward treating **pain** is the suppression of excessive, repetitive firing that is common in damaged neurons. Previously, we identified V102862 (**Co 102862**) as a potent, state-dependent blocker of voltage-gated sodium channels. To optimize the pharmaceutical profile of V102862, a series of 3-(4-phenoxyphenyl)-pyrazole-1-carboxamides was synthesized. These compounds were profiled on voltage-gated hSkM1 Na+ channels stably expressed in HEK-293. The compounds inhibited the channels in a state-dependent manner with potencies towards the inactivated state in the range of 30-350 nM. The on-rates of binding to inactivated state were substantially higher than for V102862, while the retardation of recovery from inactivation was substantially weaker. Selected compounds from this series were efficacious in the rat Chung model of neuropathic **pain**. In conclusion, 3-(4-phenoxy)-phenylpyrazole-1-carboxamides may have analgesic potential similar to V102862.

IT Major Concepts
Nervous System (Neural Coordination)
IT Parts, Structures, & Systems of Organisms
neurons: nervous system
IT Diseases
neuropathic **pain**: nervous system disease
Pain (MeSH)
IT Diseases
pain: nervous system disease
Pain (MeSH)
IT Chemicals & Biochemicals

Co 102862; analgesic; hSkM1; voltage-gated sodium channel

ORGN Classifier

Muridae 86375

Super Taxa

Rodentia; Mammalia; Vertebrata; Chordata; Animalia

Organism Name

rat (common)

Taxa Notes

Animals, Chordates, Mammals, Nonhuman Vertebrates, Nonhuman Mammals, Rodents, Vertebrates

RN 181144-66-1 (**Co 102862**)

L7 ANSWER 5 OF 11 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN

ACCESSION NUMBER: 2004:122761 BIOSIS

DOCUMENT NUMBER: PREV200400126603

TITLE: State-dependent block of rat brain type IIA voltage-gated sodium channels by phenoxyphenyl pyridines.

AUTHOR(S): Ilyin, Victor I. [Reprint Author]; Shao, Bin [Reprint Author]; Victory, Sam F. [Reprint Author]; Hogenkamp, Derk [Reprint Author]; Sun, Qun [Reprint Author]; Goehring, R. Richard [Reprint Author]; Nguyen, Phong [Reprint Author]; Sha, Deyou [Reprint Author]; Zhang, Chongwu [Reprint Author]; Islam, Khondaker [Reprint Author]; Gharagozloo, Parviz [Reprint Author]; Hodges, Dianne D. [Reprint Author]; Robledo, Silvia [Reprint Author]; Carter, Richard B. [Reprint Author]

CORPORATE SOURCE: Discovery Research, Purdue Pharma, L.P., Cranbury, NJ, USA

SOURCE: Biophysical Journal, (January 2004) Vol. 86, No. 1, pp. 117a. print.
Meeting Info.: 48th Annual Meeting of the Biophysical Society. Baltimore, MD, USA. February 14-18, 2004. Biophysical Society.
ISSN: 0006-3495 (ISSN print).

DOCUMENT TYPE: Conference; (Meeting)
Conference; (Meeting Poster)
Conference; Abstract; (Meeting Abstract)

LANGUAGE: English

ENTRY DATE: Entered STN: 3 Mar 2004
Last Updated on STN: 3 Mar 2004

AB Voltage-gated sodium channels are essential for the initiation and propagation of neuronal action potentials and believed to be key mediators of the pathophysiology of **pain**. A promising approach toward treating **pain** is the inhibition of excessive, repetitive firing that is common in damaged neurons, while leaving the normal patterns of electrical activity eventually intact. Previously, the semicarbazone V102862 (**Co 102862**) was identified as a potent, voltage-gated sodium channel blocker with analgesic potential in animal **pain** models. To optimize the pharmaceutical profile of V102862 we synthesized a series of structural congeners where the semicarbazone moiety was replaced with pyridine scaffolds. These compounds were profiled on voltage-gated rat brain type IIA Na+ channels (rNav1.2) stably expressed in HEK-293 cells. The compounds inhibited the channels in a state-dependent manner with potencies towards the inactivated state in the range of 0.1-3 muM. The on-rates of binding to the inactivated state were comparable or significantly faster than for V102862, while the retardation of recovery from inactivation was substantially weaker. Select compounds exhibited similar pharmacological profiles on native TTX-R and TTX-S Na+ channels in rat DRG neurons and were efficacious in the rat Chung model of neuropathic **pain**. In conclusion, 4-(4-phenoxy)phenyl-substituted pyridine carboxamides may have analgesic potential similar to V102862.

IT Major Concepts

Membranes (Cell Biology); Nervous System (Neural Coordination); Pharmacology

IT Parts, Structures, & Systems of Organisms

brain: nervous system; brain type IIA voltage-gated sodium channels, state-dependent block

IT Diseases
neuropathic **pain**: nervous system disease, drug therapy
Pain (MeSH)
IT Chemicals & Biochemicals
phenoxyphenyl pyridines; semicarbazone V102862 [**Co 102862**]: analgesic-drug, voltage-gated sodium channel blocker; tetrodotoxin-R; tetrodotoxin-S
ORGN Classifier
Muridae 86375
Super Taxa
Rodentia; Mammalia; Vertebrata; Chordata; Animalia
Organism Name
rat (common): animal model
Taxa Notes
Animals, Chordates, Mammals, Nonhuman Vertebrates, Nonhuman Mammals, Rodents, Vertebrates
RN 181144-66-1 (semicarbazone V102862)
181144-66-1 (**Co 102862**)
4368-28-9 (tetrodotoxin-S)

L7 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:472472 CAPLUS
DOCUMENT NUMBER: 135:81972
TITLE: Formulations of adenosine A1 agonists
INVENTOR(S): Bountra, Charanjit; Clayton, Nicholas Maughan; Naylor, Alan
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001045684	A2	20010628	WO 2000-GB4888	20001219
WO 2001045684	A3	20020314		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1239880	A2	20020918	EP 2000-985631	20001219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003518042	T2	20030603	JP 2001-546423	20001219
US 2003008842	A1	20030109	US 2002-168196	20020618

PRIORITY APPLN. INFO.:
GB 1999-30079 A 19991220
WO 2000-GB4888 W 20001219

AB A method of treating conditions associated with **pain** and alleviating the symptoms associated with it comprises administering to a mammal an adenosine A1 agonist or a salt or solvate and a sodium channel blocker. The present invention also provides pharmaceutical formulations and patient packs comprising the combinations. Thus, (2S,3S,4R,5R)-2-(5-tert-butyl-[1,3,4]oxadiazol-2-yl)-5-[6-(4-chloro-2-fluorophenylamino)purin-9-yl]tetrahydrofuran-3,4-diol was prepared in a series of steps by the reaction of (3aS,4S,6R,6aR)-6-(6-chloropurin-9-yl)-2,2-dimethyltetrahydrofuro[3,4-d][1,3]dioxole-4-carboxylic acid with 2,2-dimethylpropionic acid hydrazide followed by the cyclization of the resulting compound, and subsequent treatment with 4-chloro-2-fluoroaniline and deprotection.
IT Adenosine receptors
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL

(Biological study); PROC (Process)
(A1; formulations of adenosine A1 agonists)
IT Anti-**inflammatory** agents
Drug delivery systems
(formulations of adenosine A1 agonists)
IT Drug delivery systems
(oral; formulations of adenosine A1 agonists)
IT Ion channel blockers
(sodium; formulations of adenosine A1 agonists)
IT 42826-42-6 57946-56-2, 4-Chloro-2-fluoroaniline 120355-42-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(formulations of adenosine A1 agonists)
IT 253126-43-1P 253126-44-2P 253127-02-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(formulations of adenosine A1 agonists)
IT 253124-46-8P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(formulations of adenosine A1 agonists)
IT 57-41-0, Phenytoin 58-61-7, Adenosine, biological studies 137-58-6, Lidocaine 298-46-4, Carbamazepine 27262-47-1, Levobupivacaine 28721-07-5, Oxcarbazepine 31828-71-4, Mexiletine 84057-84-1, Lamotrigine 84057-95-4, Ropivacaine 97240-79-4, Topiramate 106308-44-5, Rufinamide 124555-18-6 128298-28-2, Remacemide 130801-33-1 **181144-66-1, CO-102862** 202825-46-5, NW-1015 206260-33-5, Irampanel 212778-82-0 221019-25-6, Crobenetine 227604-18-4 259828-60-9 346425-37-4 346577-95-5 346670-94-8, RS 100642 346670-95-9, RS 132943 346670-96-0, NW 1029 346670-97-1, AWD 33-173
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(formulations of adenosine A1 agonists)

L7 ANSWER 7 OF 11 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN
ACCESSION NUMBER: 2000:394464 BIOSIS
DOCUMENT NUMBER: PREV200000394464
TITLE: New paths to **pain** relief.
AUTHOR(S): Brower, Vicki
SOURCE: Nature Biotechnology, (April, 2000) Vol. 18, No. 4, pp. 387-391. print.
ISSN: 1087-0156.
DOCUMENT TYPE: Article
General Review; (Literature Review)
LANGUAGE: English
ENTRY DATE: Entered STN: 13 Sep 2000
Last Updated on STN: 8 Jan 2002
AB A better understanding of the mechanisms by which **pain** signals are relayed in the nervous system is paving the way for novel treatments.
IT Major Concepts
Nervous System (Neural Coordination); Pharmacology
IT Parts, Structures, & Systems of Organisms
A-delta fibers: nervous system; C fibers: nervous system; nociceptors: nervous system; peripheral nerve endings: nervous system
IT Chemicals & Biochemicals
ABT-594: analgesic-drug, Epipedobates tricolor toxin analog; ADC 10-0101: analgesic-drug, kappa-opioid receptor agonist; CNS-5161: analgesic-drug, NMDA receptor antagonist; **CO-102862** : analgesic-drug, PN3 sodium-channel antagonist; GV-1976771: analgesic-drug, glycine/NMDA receptor antagonist; MK-663: analgesic-drug, Cox-2 inhibitor; aldose reductase inhibitor: analgesic-drug; alosetron [Lotronex]: analgesic-drug, serotonin type 3 receptor antagonist; gabapentenoid [Pregabalin]: analgesic-drug, calcium-channel antagonist, gabapentin analog; gabapentin: analgesic-drug, calcium-channel inhibitor, combination therapy; memantine: analgesic-drug, low-affinity NMDA receptor antagonist; piroxicam: analgesic-drug, antiinflammatory-drug, oral transmucosal administration; prosapeptide TX14: analgesic-drug, calcium channel inhibitor; resiniferatoxin: analgesic-drug, vanilloid receptor 1

agonist; zicotinimide: analgesic-drug, N-type calcium-channel antagonist
IT Methods & Equipment
functional magnetic resonance imaging: imaging method; positron emission tomography: imaging method
IT Miscellaneous Descriptors
acute **pain**: treatment; chronic **pain**: treatment; methodological approach; neuropathic **pain**: treatment; **pain** relief
ORGN Classifier
Hominidae 86215
Super Taxa
Primates; Mammalia; Vertebrata; Chordata; Animalia
Organism Name
human
Taxa Notes
Animals, Chordates, Humans, Mammals, Primates, Vertebrates
ORGN Classifier
Muridae 86375
Super Taxa
Rodentia; Mammalia; Vertebrata; Chordata; Animalia
Organism Name
rat: animal model
Taxa Notes
Animals, Chordates, Mammals, Nonhuman Vertebrates, Nonhuman Mammals, Rodents, Vertebrates
RN 198283-73-7 (ABT-594)
160754-76-7 (CNS-5161)
181144-66-1 (**CO-102862**)
202409-33-4 (MK-663)
122852-42-0 (alosetron)
122852-42-0 (Lotronex)
60142-96-3 (gabapentin)
19982-08-2 (memantine)
36322-90-4 (piroxicam)
57444-62-9 (resiniferatoxin)
148553-50-8 (PREGABALIN)
160756-38-7 (CNS-5161)

L7 ANSWER 8 OF 11 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN
ACCESSION NUMBER: 1997:533399 BIOSIS
DOCUMENT NUMBER: PREV199799832602
TITLE: Antinociceptive effects of Co 102862, a novel anticonvulsant, in tail flick and formalin tests in mice.
AUTHOR(S): Tran, M. [Reprint author]; Lutfy, K. [Reprint author]; Xu, Z. [Reprint author]; Puthucode, R. N.; Dimmock, J. R.; Woodward, R. M. [Reprint author]
CORPORATE SOURCE: CoCensys, Inc., 213 Technology Dr., Irvine, CA 92618, USA
SOURCE: Society for Neuroscience Abstracts, (1997) Vol. 23, No. 1-2, pp. 2163.
Meeting Info.: 27th Annual Meeting of the Society for Neuroscience. New Orleans, Louisiana, USA. October 25-30, 1997.
ISSN: 0190-5295.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
Conference; (Meeting Poster)
LANGUAGE: English
ENTRY DATE: Entered STN: 12 Dec 1997
Last Updated on STN: 12 Dec 1997
IT Major Concepts
Nervous System (Neural Coordination); Pharmacology
IT Chemicals & Biochemicals
FORMALIN
IT Miscellaneous Descriptors
ANALGESIC-DRUG; ANIMAL MODEL; ANTICONVULSANT ACTIVITY; ANTINOCICEPTIVE DRUG EFFECTS; **CO-102862**; FORMALIN PAIN

TEST; NERVOUS SYSTEM; PHARMACOLOGY; SWISS WEBSTER NIH MOUSE; TAIL FLICK **PAIN** TEST
ORGN Classifier
Muridae 86375
Super Taxa
Rodentia; Mammalia; Vertebrata; Chordata; Animalia
Organism Name
Muridae
Taxa Notes
Animals, Chordates, Mammals, Nonhuman Vertebrates, Nonhuman Mammals, Rodents, Vertebrates
RN 50-00-0 (FORMALIN)

L7 ANSWER 9 OF 11 EMBASE COPYRIGHT (c) 2005 Elsevier B.V. All rights reserved on STN
ACCESSION NUMBER: 2003402996 EMBASE
TITLE: Medicinal Chemistry - 28th National Symposium: 8-12 June 2002, San Diego, CA, USA.
AUTHOR: Cox R.
CORPORATE SOURCE: R. Cox, Current Drugs Ltd., Middlesex House, 34-42 Cleveland Street, London W1T 4LB, United Kingdom. richard.cox@current-drugs.com
SOURCE: IDrugs, (2002) Vol. 5, No. 7, pp. 626-632. ISSN: 1369-7056 CODEN: IDRUFN
COUNTRY: United Kingdom
DOCUMENT TYPE: Journal; Conference Article
FILE SEGMENT: 037 Drug Literature Index
030 Pharmacology
038 Adverse Reactions Titles
LANGUAGE: English
ENTRY DATE: Entered STN: 20031023
Last Updated on STN: 20031023
DATA NOT AVAILABLE FOR THIS ACCESSION NUMBER

L7 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:472465 CAPLUS
DOCUMENT NUMBER: 135:66243
TITLE: Process for producing nanometer particles by fluidized-bed spray-drying
INVENTOR(S): Kerkhof, Nicholas J.
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 24 pp. CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001045677	A1	20010628	WO 2000-US34606	20001219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2395129	AA	20010628	CA 2000-2395129	20001219
EP 1239844	A1	20020918	EP 2000-986607	20001219
EP 1239844	B1	20050608		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003518038	T2	20030603	JP 2001-546416	20001219
AU 778931	B2	20041223	AU 2001-22814	20001219
AT 297196	E	20050615	AT 2000-986607	20001219

ES 2240222	T3	20051016	ES 2000-986607		20001219
US 2003211162	A1	20031113	US 2002-168520		20021018
PRIORITY APPLN. INFO.:			US 1999-172573P	P	19991220
			WO 2000-US34606	W	20001219

AB Nanometer particles of poorly water-soluble or substantially water-insol. compound are produced by finely-spraying a non-aqueous solution of said compound into a heated and fluidized bed of carrier excipient. The resulting product consists of a free flowing mixture of relatively large particles of carrier excipient and nanometer sized particles (<3 μm) of the compound Approx. 100 g ganaxolone was dissolved in 5 kg ethanol with slight warming to 30°. The solution was sprayed into 1 kg of spray-dried lactose NF in a fluidized-bed system equipped with a 6" Wurster column. The spray rate was 34-41 mL/min. The static inlet pressure was 2.5-8 bar. The resulting ganaxolone powder mixture was free-flowing and contained 63 mg ganaxolone/g of powder. The ganaxolone particle size in the mixture was determined by a laser diffraction technique by using photocorrelation spectroscopy. The ganaxolone had a volume-weighted mean diameter of 660 nm.

IT Alcohols, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(C16-18, ethoxylated; process for producing nanometer particles by fluidized-bed spray-drying)

IT Alcohols, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(C16-18; process for producing nanometer particles by fluidized-bed spray-drying)

IT Immunostimulants
(adjuvants; process for producing nanometer particles by fluidized-bed spray-drying)

IT Diagnosis
(agents; process for producing nanometer particles by fluidized-bed spray-drying)

IT Polyoxyalkylenes, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(alkyl ethers; process for producing nanometer particles by fluidized-bed spray-drying)

IT Quaternary ammonium compounds, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(alkylbenzyldimethyl, chlorides; process for producing nanometer particles by fluidized-bed spray-drying)

IT Thyroid gland
(antithyroid agents; process for producing nanometer particles by fluidized-bed spray-drying)

IT Skin preparations (pharmaceutical)
(astringents; process for producing nanometer particles by fluidized-bed spray-drying)

IT Imaging agents
(contrast; process for producing nanometer particles by fluidized-bed spray-drying)

IT Waxes
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(emulsifying; process for producing nanometer particles by fluidized-bed spray-drying)

IT Fatty acids, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(esters, ethoxylated; process for producing nanometer particles by fluidized-bed spray-drying)

IT Castor oil
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ethoxylated; process for producing nanometer particles by fluidized-bed spray-drying)

IT Drying
(fluidized-bed; process for producing nanometer particles by fluidized-bed spray-drying)

IT Drug delivery systems
(nanoparticles; process for producing nanometer particles by fluidized-bed spray-drying)

IT Adrenoceptor agonists
Allergy inhibitors

Analgesics
Anthelmintics
Anti-**inflammatory** agents
Antiarrhythmics
Antibacterial agents
Antibiotics
Anticoagulants
Anticonvulsants
Antidepressants
Antidiabetic agents
Antihistamines
Antihypertensives
Antitumor agents
Antitussives
Antiviral agents
Anxiolytics
Cholinergic agonists
Cosmetics
Diuretics
Dopamine agonists
Drug bioavailability
Food
Hemostatics
Hypnotics and Sedatives
Imaging agents
Immunosuppressants
Muscarinic antagonists
Muscle relaxants
Particle size distribution
Radiopharmaceuticals
Solvents
Thyroid gland
Vasodilators
(process for producing nanometer particles by fluidized-bed spray-drying)

IT Alditols
Carbohydrates, biological studies
Caseins, biological studies
Corticosteroids, biological studies
Gelatins, biological studies
Lecithins
Phosphates, biological studies
Polyoxyalkylenes, biological studies
Prostaglandins
Sex hormones
Steroids, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(process for producing nanometer particles by fluidized-bed spray-drying)

IT Lipids, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(regulating agents for; process for producing nanometer particles by fluidized-bed spray-drying)

IT Adrenoceptor antagonists
(β-; process for producing nanometer particles by fluidized-bed spray-drying)

IT 7631-86-9, Silica, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(colloidal; process for producing nanometer particles by fluidized-bed spray-drying)

IT 38398-32-2, Ganaxolone 162882-76-0 162883-07-0 171494-14-7
RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(process for producing nanometer particles by fluidized-bed spray-drying)

IT 50-69-1, Ribose 50-70-4, Sorbitol, biological studies 50-99-7, Glucose, biological studies 57-11-4, Stearic acid, biological studies 57-50-1, Sucrose, biological studies 57-88-5, Cholesterol, biological

studies 58-86-6, Xylose, biological studies 59-23-4, Galactose, biological studies 63-42-3, Lactose 69-65-8, Mannitol 69-89-6D, xanthine, derivs. 87-99-0, Xylitol 102-71-6, Triethanolamine, biological studies 147-81-9, Arabinose 151-21-3, SDS, biological studies 1327-43-1, Magnesium aluminum silicate 1592-23-0, Calcium stearate 3458-28-4, Mannose 9000-01-5, Gum acacia 9000-65-1, Gum tragacanth 9002-89-5, Poly(vinyl alcohol) 9003-39-8, PVP 9004-32-4, Carboxymethyl cellulose sodium salt 9004-34-6, Cellulose, biological studies 9004-62-0, Hydroxyethyl cellulose 9004-64-2, Hydroxypropyl cellulose 9004-67-5, Methyl cellulose 9007-12-9, calcitonin 9050-04-8, Carboxymethyl cellulose calcium salt 9050-31-1, Hydroxypropyl methyl cellulose phthalate 12441-09-7D, Sorbitan, esters or ethoxylated fatty esters 25322-68-3, Polyethylene glycol 25322-68-3D, Polyethylene glycol, alkyl ethers 31566-31-1, Glyceryl monostearate 67167-59-3, Polyethylene glycol stearate **181144-66-1** 215458-68-7
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(process for producing nanometer particles by fluidized-bed spray-drying)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:472463 CAPLUS
DOCUMENT NUMBER: 135:66241
TITLE: Process for producing nanometer particles by fluid-bed spray-drying
INVENTOR(S): Kerkhof, Nicholas J.; Ong, John T. H.
PATENT ASSIGNEE(S): Cocensys, Inc., USA
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001045674	A1	20010628	WO 2000-US34479	20001219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
ES 2240222	T3	20051016	ES 2000-986607	20001219
PRIORITY APPLN. INFO.:			US 1999-172573P	P 19991220

AB Nanometer particles of poorly water-soluble or substantially water-insol. compound are produced by finely-spraying a non-aqueous solution of said compound into a heated and fluidized bed of carrier excipient. The resulting product consists of a free flowing mixture of relatively large particles of carrier excipient and nanometer sized particles (<1 μm) of compound Approx. 100 g ganaxolone was dissolved in 5 kg ethanol with slight warming to 30°. The solution was sprayed into 1 kg of spray-dried lactose NF in a fluidized-bed system equipped with a 6" Wurster column. The spray rate was 34-41 mL/min. The static inlet pressure was 2.5-8 bar. The resulting ganaxolone powder mixture was free-flowing and contained 63 mg ganaxolone/g of powder. The ganaxolone particle size in the mixture was determined by a laser diffraction technique by using photocorrelation spectroscopy. The ganaxolone had a volume-weighted mean diameter of 660 nm.

IT Alcohols, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(C16-18, ethoxylated; process for producing nanometer particles by fluidized-bed spray-drying)

IT Alcohols, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(C16-18; process for producing nanometer particles by fluidized-bed spray-drying)

IT Immunostimulants
(adjuvants; process for producing nanometer particles by fluidized-bed spray-drying)

IT Diagnosis
(agents; process for producing nanometer particles by fluidized-bed spray-drying)

IT Polyoxyalkylenes, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(alkyl ethers or esters; process for producing nanometer particles by fluidized-bed spray-drying)

IT Quaternary ammonium compounds, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(alkylbenzyldimethyl, chlorides; process for producing nanometer particles by fluidized-bed spray-drying)

IT Thyroid gland
(antithyroid agents; process for producing nanometer particles by fluidized-bed spray-drying)

IT Skin preparations (pharmaceutical)
(astringents; process for producing nanometer particles by fluidized-bed spray-drying)

IT Imaging agents
(contrast; process for producing nanometer particles by fluidized-bed spray-drying)

IT Waxes
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(emulsifying; process for producing nanometer particles by fluidized-bed spray-drying)

IT Fatty acids, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(esters, ethoxylated; process for producing nanometer particles by fluidized-bed spray-drying)

IT Castor oil
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ethoxylated; process for producing nanometer particles by fluidized-bed spray-drying)

IT Drying
(fluidized-bed; process for producing nanometer particles by fluidized-bed spray-drying)

IT Drug delivery systems
(nanoparticles; process for producing nanometer particles by fluidized-bed spray-drying)

IT Adrenoceptor agonists
Allergy inhibitors
Analgesics
Anthelmintics
Anti-**inflammatory** agents
Antiarrhythmics
Antibacterial agents
Antibiotics
Anticoagulants
Anticonvulsants
Antidepressants
Antidiabetic agents
Antihistamines
Antihypertensives
Antitumor agents
Antitussives
Antiviral agents
Anxiolytics
Cholinergic agonists
Cosmetics
Diuretics
Dopamine agonists
Drug bioavailability
Food
Hemostatics

Hypnotics and Sedatives
Imaging agents
Immunosuppressants
Muscarinic antagonists
Muscle relaxants
Particle size distribution
Radiopharmaceuticals
Solvents
Thyroid gland
Vasodilators
(process for producing nanometer particles by fluidized-bed spray-drying)

IT Alditols
Carbohydrates, biological studies
Caseins, biological studies
Corticosteroids, biological studies
Gelatins, biological studies
Lecithins
Phosphates, biological studies
Polyoxyalkylenes, biological studies
Prostaglandins
Sex hormones
Steroids, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(process for producing nanometer particles by fluidized-bed spray-drying)

IT Lipids, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(regulating agents for; process for producing nanometer particles by fluidized-bed spray-drying)

IT Adrenoceptor antagonists
(β-; process for producing nanometer particles by fluidized-bed spray-drying)

IT 7631-86-9, Silica, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(colloidal; process for producing nanometer particles by fluidized-bed spray-drying)

IT 38398-32-2, Ganaxolone 162882-76-0 162883-07-0 171494-14-7
RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(process for producing nanometer particles by fluidized-bed spray-drying)

IT 64-17-5, Ethanol, uses 67-63-0, Isopropanol, uses 75-09-2, Methylene chloride, uses
RL: NUU (Other use, unclassified); PEP (Physical, engineering or chemical process); PROC (Process); USES (Uses)
(process for producing nanometer particles by fluidized-bed spray-drying)

IT 50-69-1, Ribose 50-70-4, Sorbitol, biological studies 50-99-7, Glucose, biological studies 57-11-4, Stearic acid, biological studies 57-50-1, Sucrose, biological studies 57-88-5, Cholesterol, biological studies 58-86-6, Xylose, biological studies 59-23-4, Galactose, biological studies 63-42-3, Lactose 69-65-8, Mannitol 69-89-6D, Xanthine, derivs. 87-99-0, Xylitol 102-71-6, Triethanolamine, biological studies 147-81-9, Arabinose 151-21-3, SDS, biological studies 1327-43-1, Magnesium aluminum silicate 1592-23-0, Calcium stearate 3458-28-4, Mannose 9000-01-5, Gum acacia 9000-65-1, Gum tragacanth 9002-89-5, Poly(vinyl alcohol) 9003-39-8, PVP 9004-32-4, Carboxymethyl cellulose sodium salt 9004-34-6, Cellulose, biological studies 9004-62-0, Hydroxyethyl cellulose 9004-64-2, Hydroxypropyl cellulose 9004-67-5, Methyl cellulose 9004-99-3, Polyethylene glycol stearate 9007-12-9, Calcitonin 9050-04-8, Carboxymethyl cellulose calcium salt 9050-31-1, Hydroxypropyl methyl cellulose phthalate 12441-09-7D, Sorbitan, esters or ethoxylated fatty esters 25322-68-3, Polyethylene glycol 25322-68-3D, Polyethylene glycol, alkyl ethers or esters 31566-31-1, Glyceryl monostearate **181144-66-1**
215458-68-7
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(process for producing nanometer particles by fluidized-bed spray-drying)

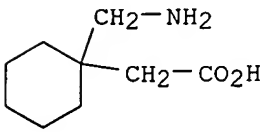
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 2 BIOSIS COPYRIGHT (c) 2005 The Thomson Corporation on STN
ACCESSION NUMBER: 2000:394464 BIOSIS
DOCUMENT NUMBER: PREV200000394464
TITLE: New paths to **pain** relief.
AUTHOR(S): Brower, Vicki
SOURCE: Nature Biotechnology, (April, 2000) Vol. 18, No. 4, pp. 387-391. print.
ISSN: 1087-0156.
DOCUMENT TYPE: Article
General Review; (Literature Review)
LANGUAGE: English
ENTRY DATE: Entered STN: 13 Sep 2000
Last Updated on STN: 8 Jan 2002

AB A better understanding of the mechanisms by which **pain** signals are relayed in the nervous system is paving the way for novel treatments.

=>

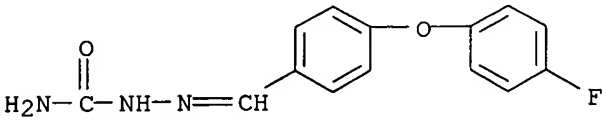
L8 ANSWER 5 OF 6 REGISTRY COPYRIGHT 2005 ACS on STN
RN 60142-96-3 REGISTRY
ED Entered STN: 16 Nov 1984
CN Cyclohexaneacetic acid, 1-(aminomethyl)- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 1-(Aminomethyl)cyclohexaneacetic acid
CN CI 945
CN **Gabapentin**
CN Go 3450
CN GOE 2450
CN GOE 3450
CN Neurontin
FS 3D CONCORD
MF C9 H17 N O2
CI COM
LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAPLUS, CASREACT, CBNB, CHEMCATS, CHEMLIST, CIN, CSCHEM, DDFU, DIOGENES, DRUGU, EMBASE, IFICDB, IFIPAT, IFIUDB, IMSCOSEARCH, IMSDRUGNEWS, IMSPATENTS, IMSRESEARCH, IPA, MEDLINE, MRCK*, MSDS-OHS, PHAR, PROMT, PROUSDDR, PS, RTECS*, SCISEARCH, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL
(*File contains numerically searchable property data)
Other Sources: EINECS**, WHO
(**Enter CHEMLIST File for up-to-date regulatory information)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1232 REFERENCES IN FILE CA (1907 T

L2 ANSWER 12 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 181144-66-1 REGISTRY
ED Entered STN: 24 Sep 1996
CN **Hydrazinecarboxamide, 2-[[4-(4-fluorophenoxy)phenyl]methylene]-**
(9CI) (CA INDEX NAME)
OTHER NAMES:
CN 2-[[4-(4-Fluorophenoxy)phenyl]methylene]hydrazinecarboxamide
CN **4-(4-Fluorophenoxy)benzaldehyde semicarbazone**
CN Co 102862
CN V 102862
FS 3D CONCORD
MF C14 H12 F N3 O2
SR CA
LC STN Files: BIOSIS, CA, CAPLUS, IMSDRUGNEWS, IMSRESEARCH, PROUSDDR, SYNTHLINE, TOXCENTER, USPAT2, USPATFULL



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17 REFERENCES IN FILE CA (1907 TO DATE)
17 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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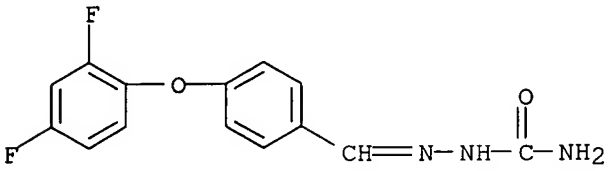
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

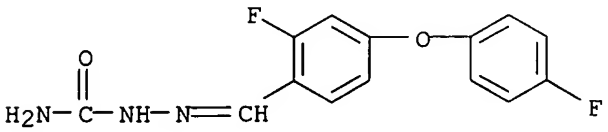
L2 ANSWER 11 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 181144-68-3 REGISTRY
ED Entered STN: 24 Sep 1996
CN **Hydrazinecarboxamide, 2-[[4-(2,4-difluorophenoxy)phenyl]methylene]-(9CI)** (CA INDEX NAME)
OTHER NAMES:
CN **4-(2,4-Difluorophenoxy)benzaldehyde semicarbazone**
FS 3D CONCORD
MF C14 H11 F2 N3 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

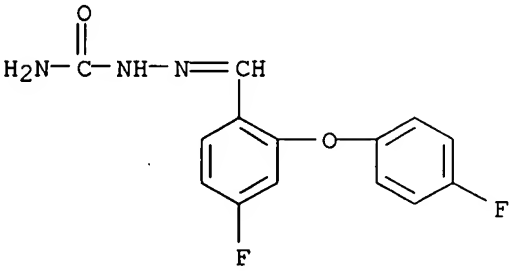
L2 ANSWER 1 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 215459-83-9 REGISTRY
ED Entered STN: 10 Dec 1998
CN **Hydrazinecarboxamide, 2-[[2-fluoro-4-(4-fluorophenoxy)phenyl]methylen e]- (9CI)** (CA INDEX NAME)
OTHER NAMES:
CN **2-Fluoro-4-(4-fluorophenoxy)benzaldehyde semicarbazone**
FS 3D CONCORD
MF C14 H11 F2 N3 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 2 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 215459-68-0 REGISTRY
ED Entered STN: 10 Dec 1998
CN **Hydrazinecarboxamide, 2-[[4-fluoro-2-(4-fluorophenoxy)phenyl]methylen e]- (9CI)** (CA INDEX NAME)
OTHER NAMES:
CN **2-(4-Fluorophenoxy)-4-fluorobenzaldehyde semicarbazone**
FS 3D CONCORD
MF C14 H11 F2 N3 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

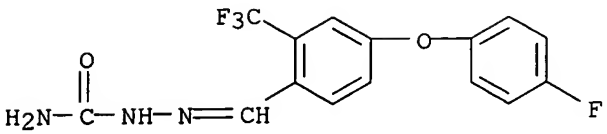


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 3 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 215459-65-7 REGISTRY
ED Entered STN: 10 Dec 1998
CN **Hydrazinecarboxamide, 2-[[4-(4-fluorophenoxy)-2-(trifluoromethyl)phenyl]methylene]- (9CI)** (CA INDEX NAME)
OTHER NAMES:
CN **4-(4-Fluorophenoxy)-2-trifluoromethylbenzaldehyde semicarbazone**
FS 3D CONCORD
MF C15 H11 F4 N3 O2
SR CA

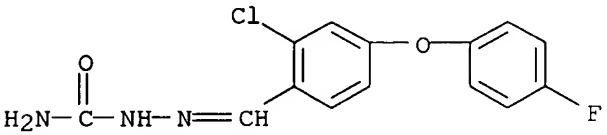
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

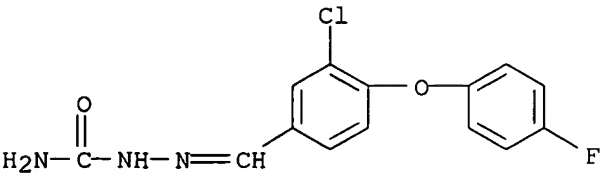
L2 ANSWER 4 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 215459-62-4 REGISTRY
ED Entered STN: 10 Dec 1998
CN **Hydrazinecarboxamide, 2-[[2-chloro-4-(4-fluorophenoxy)phenyl]methylene]- (9CI)** (CA INDEX NAME)
OTHER NAMES:
CN **2-Chloro-4-(4-fluorophenoxy)benzaldehyde semicarbazone**
FS 3D CONCORD
MF C14 H11 Cl F N3 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

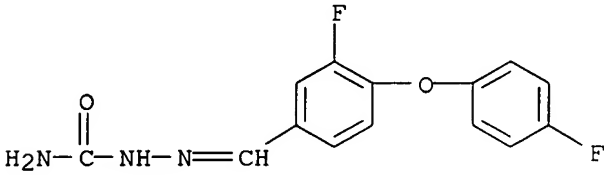
L2 ANSWER 5 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 215459-60-2 REGISTRY
ED Entered STN: 10 Dec 1998
CN **Hydrazinecarboxamide, 2-[[3-chloro-4-(4-fluorophenoxy)phenyl]methylene]- (9CI)** (CA INDEX NAME)
OTHER NAMES:
CN **3-Chloro-4-(4-fluorophenoxy)benzaldehyde semicarbazone**
FS 3D CONCORD
MF C14 H11 Cl F N3 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

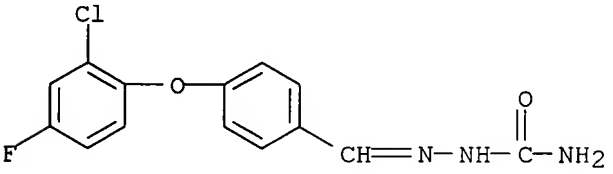
L2 ANSWER 6 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 215458-76-7 REGISTRY
ED Entered STN: 10 Dec 1998
CN **Hydrazinecarboxamide, 2-[[3-fluoro-4-(4-fluorophenoxy)phenyl]methylene]- (9CI)** (CA INDEX NAME)
OTHER NAMES:
CN **3-Fluoro-4-(4-fluorophenoxy)benzaldehyde semicarbazone**
FS 3D CONCORD
MF C14 H11 F2 N3 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

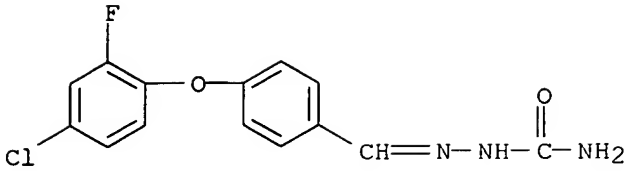
L2 ANSWER 7 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 181144-80-9 REGISTRY
ED Entered STN: 24 Sep 1996
CN **Hydrazinecarboxamide, 2-[[4-(2-chloro-4-fluorophenoxy)phenyl]methylene]- (9CI)** (CA INDEX NAME)
OTHER NAMES:
CN **4-(4-Fluoro-2-chlorophenoxy)benzaldehyde semicarbazone**
FS 3D CONCORD
MF C14 H11 Cl F N3 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 8 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 181144-79-6 REGISTRY
ED Entered STN: 24 Sep 1996
CN **Hydrazinecarboxamide, 2-[[4-(4-chloro-2-fluorophenoxy)phenyl]methylene]- (9CI)** (CA INDEX NAME)
OTHER NAMES:
CN **4-(2-Fluoro-4-chlorophenoxy)benzaldehyde semicarbazone**
FS 3D CONCORD
MF C14 H11 Cl F N3 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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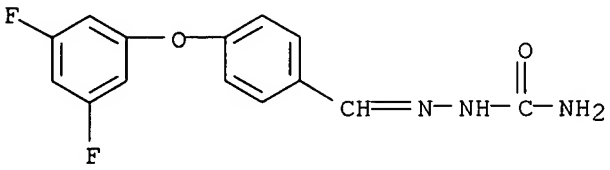
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

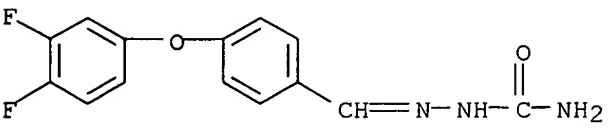
L2 ANSWER 9 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 181144-72-9 REGISTRY
ED Entered STN: 24 Sep 1996
CN **Hydrazinecarboxamide, 2-[[4-(3,5-difluorophenoxy)phenyl]methylene]-(9CI)** (CA INDEX NAME)
OTHER NAMES:
CN **4-(3,5-Difluorophenoxy)benzaldehyde semicarbazone**
FS 3D CONCORD
MF C14 H11 F2 N3 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 10 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 181144-71-8 REGISTRY
ED Entered STN: 24 Sep 1996
CN **Hydrazinecarboxamide, 2-[[4-(3,4-difluorophenoxy)phenyl]methylene]-(9CI)** (CA INDEX NAME)
OTHER NAMES:
CN **4-(3,4-Difluorophenoxy)benzaldehyde semicarbazone**
FS 3D CONCORD
MF C14 H11 F2 N3 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 11 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 181144-68-3 REGISTRY

ED Entered STN: 24 Sep 1996
CN **Hydrazinecarboxamide, 2-[[4-(2,4-difluorophenoxy)phenyl]methylene]-**
(9CI) (CA INDEX NAME)
OTHER NAMES:
CN **4-(2,4-Difluorophenoxy)benzaldehyde semicarbazone**
FS 3D CONCORD
MF C14 H11 F2 N3 O2
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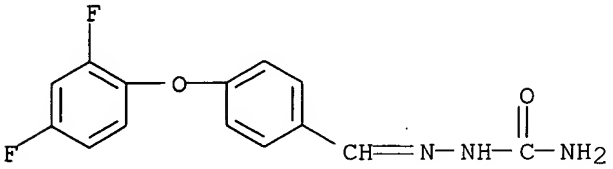

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

RN 215459-83-9 REGISTRY
ED Entered STN: 10 Dec 1998
CN **Hydrazinecarboxamide, 2-[[2-fluoro-4-(4-fluorophenoxy)phenyl]methylene]- (9CI)** (CA INDEX NAME)
OTHER NAMES:
CN **2-Fluoro-4-(4-fluorophenoxy)benzaldehyde semicarbazone**
FS 3D CONCORD
MF C14 H11 F2 N3 O2
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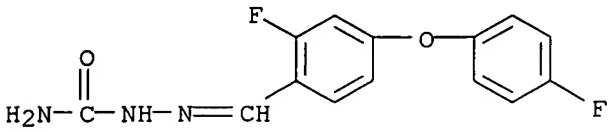

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
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L2 ANSWER 2 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 215459-68-0 REGISTRY
ED Entered STN: 10 Dec 1998
CN **Hydrazinecarboxamide, 2-[[4-fluoro-2-(4-fluorophenoxy)phenyl]methylene]- (9CI)** (CA INDEX NAME)
OTHER NAMES:
CN **2-(4-Fluorophenoxy)-4-fluorobenzaldehyde semicarbazone**
FS 3D CONCORD
MF C14 H11 F2 N3 O2
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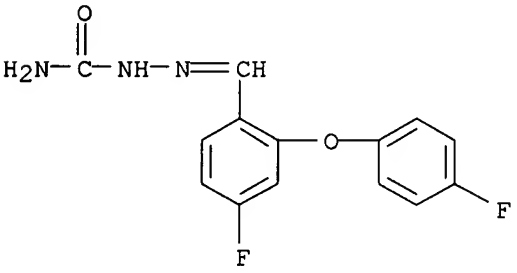

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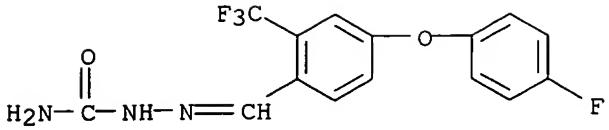
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 3 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 215459-65-7 REGISTRY
ED Entered STN: 10 Dec 1998
CN **Hydrazinecarboxamide, 2-[[4-(4-fluorophenoxy)-2-(trifluoromethyl)phenyl]methylene]- (9CI)** (CA INDEX NAME)
OTHER NAMES:
CN **4-(4-Fluorophenoxy)-2-trifluoromethylbenzaldehyde semicarbazone**
FS 3D CONCORD
MF C15 H11 F4 N3 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 4 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 215459-62-4 REGISTRY
ED Entered STN: 10 Dec 1998
CN **Hydrazinecarboxamide, 2-[[2-chloro-4-(4-fluorophenoxy)phenyl]methylene]- (9CI)** (CA INDEX NAME)
OTHER NAMES:
CN **2-Chloro-4-(4-fluorophenoxy)benzaldehyde semicarbazone**
FS 3D CONCORD
MF C14 H11 Cl F N3 O2
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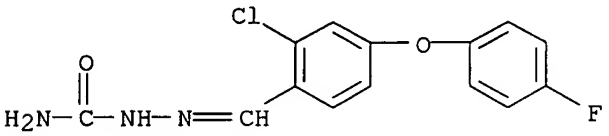
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



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L2 ANSWER 5 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 215459-60-2 REGISTRY
ED Entered STN: 10 Dec 1998
CN **Hydrazinecarboxamide, 2-[[3-chloro-4-(4-fluorophenoxy)phenyl]methylene]- (9CI)** (CA INDEX NAME)
OTHER NAMES:
CN **3-Chloro-4-(4-fluorophenoxy)benzaldehyde semicarbazone**
FS 3D CONCORD
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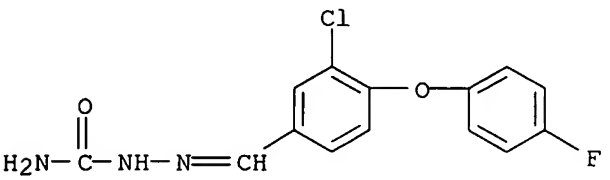

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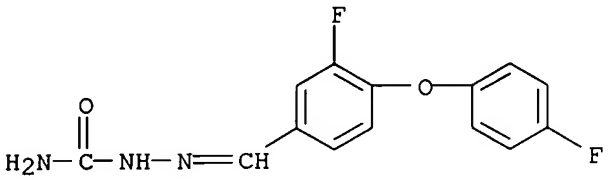
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 6 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN

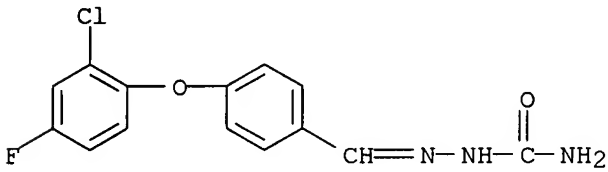
RN 215458-76-7 REGISTRY
ED Entered STN: 10 Dec 1998
CN **Hydrazinecarboxamide, 2-[[3-fluoro-4-(4-fluorophenoxy)phenyl]methylen e]- (9CI)** (CA INDEX NAME)
OTHER NAMES:
CN **3-Fluoro-4-(4-fluorophenoxy)benzaldehyde semicarbazone**
FS 3D CONCORD
MF C14 H11 F2 N3 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

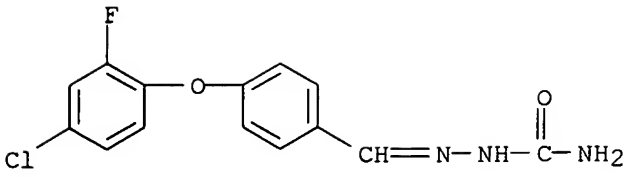
L2 ANSWER 7 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 181144-80-9 REGISTRY
ED Entered STN: 24 Sep 1996
CN **Hydrazinecarboxamide, 2-[[4-(2-chloro-4-fluorophenoxy)phenyl]methylen e]- (9CI)** (CA INDEX NAME)
OTHER NAMES:
CN **4-(4-Fluoro-2-chlorophenoxy)benzaldehyde semicarbazone**
FS 3D CONCORD
MF C14 H11 Cl F N3 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

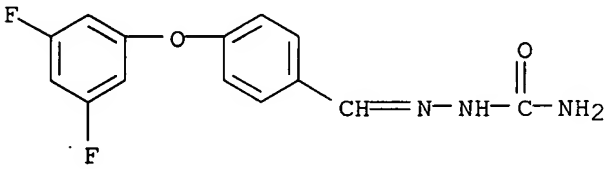
L2 ANSWER 8 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 181144-79-6 REGISTRY
ED Entered STN: 24 Sep 1996
CN **Hydrazinecarboxamide, 2-[[4-(4-chloro-2-fluorophenoxy)phenyl]methylen e]- (9CI)** (CA INDEX NAME)
OTHER NAMES:
CN **4-(2-Fluoro-4-chlorophenoxy)benzaldehyde semicarbazone**
FS 3D CONCORD
MF C14 H11 Cl F N3 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

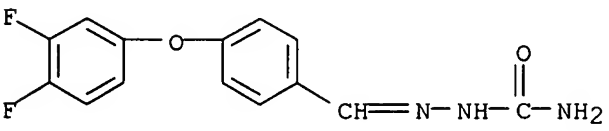
L2 ANSWER 9 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 181144-72-9 REGISTRY
ED Entered STN: 24 Sep 1996
CN **Hydrazinecarboxamide, 2-[[4-(3,5-difluorophenoxy)phenyl]methylene]-(9CI)** (CA INDEX NAME)
OTHER NAMES:
CN **4-(3,5-Difluorophenoxy)benzaldehyde semicarbazone**
FS 3D CONCORD
MF C14 H11 F2 N3 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 10 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 181144-71-8 REGISTRY
ED Entered STN: 24 Sep 1996
CN **Hydrazinecarboxamide, 2-[[4-(3,4-difluorophenoxy)phenyl]methylene]-(9CI)** (CA INDEX NAME)
OTHER NAMES:
CN **4-(3,4-Difluorophenoxy)benzaldehyde semicarbazone**
FS 3D CONCORD
MF C14 H11 F2 N3 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

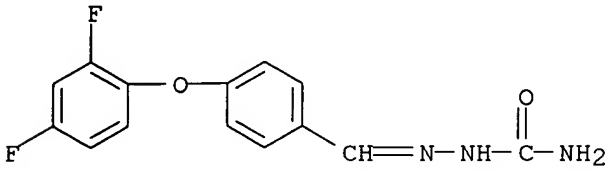


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 11 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 181144-68-3 REGISTRY

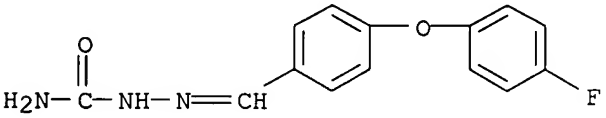
ED Entered STN: 24 Sep 1996
CN **Hydrazinecarboxamide, 2-[[4-(2,4-difluorophenoxy)phenyl]methylene]-(9CI)** (CA INDEX NAME)
OTHER NAMES:
CN **4-(2,4-Difluorophenoxy)benzaldehyde semicarbazone**
FS 3D CONCORD
MF C14 H11 F2 N3 O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 12 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN
RN 181144-66-1 REGISTRY
ED Entered STN: 24 Sep 1996
CN **Hydrazinecarboxamide, 2-[[4-(4-fluorophenoxy)phenyl]methylene]-(9CI)** (CA INDEX NAME)
OTHER NAMES:
CN **2-[[4-(4-Fluorophenoxy)phenyl]methylene]hydrazinecarboxamide**
CN **4-(4-Fluorophenoxy)benzaldehyde semicarbazone**
CN Co 102862
CN V 102862
FS 3D CONCORD
MF C14 H12 F N3 O2
SR CA
LC STN Files: BIOSIS, CA, CAPLUS, IMSDRUGNEWS, IMSRESEARCH, PROUSDDR, SYNTHLINE, TOXCENTER, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

17 REFERENCES IN FILE CA (1907 TO DATE)
17 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> file caplus medline biosis embase

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	37.60	38.08

FILE 'CAPLUS' ENTERED AT 10:46:46 ON 05 DEC 2005
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FILE 'MEDLINE' ENTERED AT 10:46:46 ON 05 DEC 2005

FILE 'BIOSIS' ENTERED AT 10:46:46 ON 05 DEC 2005

L11 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:562356 CAPLUS
DOCUMENT NUMBER: 129:298298
TITLE: Gabapentin relieves **trigeminal neuralgia** in multiple sclerosis patients
AUTHOR(S): Khan, Omar A.
CORPORATE SOURCE: Dep. Neurology, Univ. Maryland School Med., Baltimore, MD, 21201, USA
SOURCE: Neurology (1998), 51(2), 611-614
CODEN: NEURAI; ISSN: 0028-3878
PUBLISHER: Lippincott-Raven Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English
AB This report describes the effectiveness of gabapentin, a recently approved anticonvulsant, in seven patients with MS experiencing **trigeminal neuralgia** refractory to treatment with conventional medical therapy. Gabapentin relieved pain completely in six and significantly in the seventh patient. Gabapentin may be a valuable addition to pharmacol. therapy in **trigeminal neuralgia**, particularly in patients with MS and in refractory cases.
IT Anticonvulsants
Multiple sclerosis
(gabapentin relieves **trigeminal neuralgia** in humans with multiple sclerosis)
IT Nerve, disease
(neuralgia, trigeminal; gabapentin relieves **trigeminal neuralgia** in humans with multiple sclerosis)
IT Nerve
(trigeminal; gabapentin relieves **trigeminal neuralgia** in humans with multiple sclerosis)
IT **60142-96-3**, Gabapentin
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(gabapentin relieves **trigeminal neuralgia** in humans with multiple sclerosis)
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:717226 CAPLUS
DOCUMENT NUMBER: 131:306636
TITLE: Nonepileptic uses of gabapentin
AUTHOR(S): Magnus, Leslie
CORPORATE SOURCE: Parke-Davis, Division of Warner-Lambert Company, Morris Plains, NJ, 07950, USA
SOURCE: Epilepsia (1999), 40(Suppl. 6), S66-S72
CODEN: EPILAK; ISSN: 0013-9580
PUBLISHER: Lippincott Williams & Wilkins
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review with 34 refs. For decades, antiepileptic drugs (AEDs) have been used to treat a variety of nonepileptic conditions such as chronic pain, psychiatric disorders, and movement disorders. As indicated by recent published reports, gabapentin, a relatively new AED, is useful for treating a wide range of neurol. and psychiatric conditions. Although its exact mechanism of action has yet to be determined, gabapentin is likely to have multiple effects. Unlike conventional AEDs used to treat non-epileptic disorders (e.g., carbamazepine, phenytoin, valproate) gabapentin offers the advantages of low toxicity and a favorable side-effect profile. The largest area of nonepileptic use of gabapentin is neuropathic pain, in which it has demonstrated efficacy in treatment of postherpetic neuralgia, diabetic neuropathy, and **trigeminal neuralgia**. It has also been reported effective as therapy for several psychiatric disorders, most notably bipolar disorder. In addition, review of the published literature reveals the usefulness of gabapentin in movement disorders, migraine prophylaxis, and cocaine dependence. Future clin. studies will provide further insight into the range of conditions for which gabapentin is effective.

IT Analgesics
Antipsychotics
(nonepileptic uses of gabapentin in humans)

IT **60142-96-3**, Gabapentin
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(nonepileptic uses of gabapentin in humans)

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:87605 CAPLUS
DOCUMENT NUMBER: 128:149590
TITLE: Isobutyl-GABA and its derivatives for the treatment of pain
INVENTOR(S): Singh, Lakhbir
PATENT ASSIGNEE(S): Warner-Lambert Co., USA; Singh, Lakhbir
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9803167	A1	19980129	WO 1997-US12390	19970716
W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, GH, HU, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2255652	AA	19980129	CA 1997-2255652	19970716
CA 2255652	C	20040713		
AU 9736024	A1	19980210	AU 1997-36024	19970716
AU 714980	B2	20000113		
CN 1223574	A	19990721	CN 1997-196041	19970716
CN 1094757	B	20021127		
EP 934061	A1	19990811	EP 1997-932617	19970716
EP 934061	B1	20030528		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9710536	A	19990817	BR 1997-10536	19970716
NZ 332762	A	20000929	NZ 1997-332762	19970716
JP 2000515149	T2	20001114	JP 1998-507062	19970716
JP 3693258	B2	20050907		
IL 126999	A1	20020310	IL 1997-126999	19970716
AT 241351	E	20030615	AT 1997-932617	19970716
PT 934061	T	20031031	PT 1997-932617	19970716
ES 2200184	T3	20040301	ES 1997-932617	19970716
ZA 9706562	A	19980203	ZA 1997-6562	19970723
US 6001876	A	19991214	US 1998-43358	19980715
NO 9900279	A	19990122	NO 1999-279	19990122
HK 1021134	A1	20030718	HK 1999-105747	19991209

PRIORITY APPLN. INFO.: US 1996-22337P P 19960724
WO 1997-US12390 W 19970716

OTHER SOURCE(S): MARPAT 128:149590

AB A method is provided for using certain analogs of glutamic acid and γ-aminobutyric acid in pain therapy.

IT Pain
Pain
Skin, disease
Skin, disease
(allodynia; isobutyl-GABA and derivs. for pain treatment)
IT Herpesviridae
(herpetic and post-herpetic pain; isobutyl-GABA and derivs. for pain treatment)
IT Pain
(hyperalgesia; isobutyl-GABA and derivs. for pain treatment)
IT Analgesics
(isobutyl-GABA and derivs. for pain treatment)
IT Nerve, disease
(neuralgia, trigeminal, pain associated with; isobutyl-GABA and derivs. for pain treatment)
IT Nerve, disease
(neuropathy, neuropathic pain; isobutyl-GABA and derivs. for pain

treatment)
IT Surgery
(pain after; isobutyl-GABA and derivs. for pain treatment)
IT Burn
Gout
Inflammation
Neoplasm
Osteoarthritis
(pain associated with; isobutyl-GABA and derivs. for pain treatment)
IT Pain
(phantom limit and causalgia and idiopathic; isobutyl-GABA and derivs. for pain treatment)
IT Nerve
(**trigeminal**, **neuralgia**, pain associated with; isobutyl-GABA and derivs. for pain treatment)
IT 57-27-2, Morphine, biological studies
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(isobutyl-GABA and derivs. for pain treatment)
IT **60142-96-3**, Gabapentin 128013-69-4 134391-49-4 148553-50-8 148553-51-9 202644-46-0 202644-47-1 202644-48-2 202644-49-3 202644-50-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(isobutyl-GABA and derivs. for pain treatment)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 10 OF 68 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:717224 CAPLUS
DOCUMENT NUMBER: 131:332026
TITLE: Gabapentin monotherapy for the symptomatic treatment of painful neuropathy: a multicenter, double-blind, placebo-controlled trial in patients with diabetes mellitus
AUTHOR(S): Backonja, Misha-Miroslav
CORPORATE SOURCE: Department of Neurology, University of Wisconsin Medical School, Madison, WI, USA
SOURCE: Epilepsia (1999), 40(Suppl. 6), S57-S59
CODEN: EPILAK; ISSN: 0013-9580
PUBLISHER: Lippincott Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Pain is the most disturbing symptom of **diabetic neuropathy**. Traditionally this type of pain was treated with tricyclic antidepressants which frequently have many side effects. In the study reported here, gabapentin was administered in escalating doses up to 3600 mg per day to eligible patients with moderate to severe **diabetic neuropathy** pain in a double blind placebo controlled fashion. Gabapentin provided superior and significant pain relief over placebo. In addition, patients taking gabapentin had improvement of sleep scores and a number of items on mood and quality of life questionnaires. Gabapentin was tolerated well with mild and tolerable side effects.
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT